

# GUJARAT TECHNOLOGICAL UNIVERSITY

## Pharm.D.

### 1<sup>st</sup> year

**Subject Name:** Pharmaceutical Organic Chemistry

**Subject Code:** 818804

Teaching Scheme (Hours)				Evaluation Scheme (Marks)				Total marks
Theory	Tutorial	Practical	Total	Theory		Practical		
				External	Internal	External	Internal	
3	1	3	7	70	30	70	30	200

Sr. No.	Course Contents	Hours	Module Weightage
1	Structures and Physical properties: a. Polarity of bonds, polarity of molecules, M.P, Inter molecular forces, B.P, Solubility, non ionic solutes and ionic solutes, protic and aprotic Solvents, ion pairs, b. Acids and bases, Lowry bronsted and Lewis theories c. Isomerism	9	10%
2	Nomenclature of organic compound belonging to the following classes Alkanes, Alkenes, Dienes, Alkynes, Alcohols, Aldehydes, Ketones, Amides, Amines, Phenols, Alkyl Halides, Carboxylic Acid, Esters, Acid Chlorides And Cycloalkanes.	8	9%
3	Free radicals chain reactions of alkane : Mechanism, relative reactivity and stability	6	6.5%
4	Alicyclic compounds : Preparations of cyclo alkanes, Bayer strain theory and orbital picture of angle strain.	3	3.5%
5	Nuclophilic aliphatic substitution mechanism: Nucleophiles and leaving groups, kinetics of second and first order reaction, mechanism and kinetics of SN2 reactions. Stereochemistry and steric hindrance, role of solvents, phase transfer catalysis, mechanism and kinetics of SN1 reactions, stereochemistry, carbocation and their stability, rearrangement of carbocation, role of solvents in SN1 reaction, Ion dipole bonds, SN2 versus SN1 solvolyses, nucleophilic assistance by the solvents.	7	8%

<b>6</b>	Dehydro halogenation of alkyl halides: 1,2 elimination, kinetics, E2 and E1 mechanism, elimination via carbocation, evidence for E2 mechanism, absence of rearrangement isotope effect, absence hydrogen exchange, the element effect, orientation and reactivity, E2 versus E1, elimination versus substitution, dehydration of alcohol, ease of dehydration, acid catalysis, reversibility, orientation.	<b>5</b>	<b>5.5%</b>
<b>7</b>	Electrophilic and free radicals addition: Reactions at carbon-carbon, double bond, electrophile, hydrogenation, heat of hydrogenation and stability of alkenes, markownikoff rule, addition of hydrogen halides, addition of hydrogen bromides, peroxide effect, electrophilic addition, mechanism, rearrangement, absence of hydrogen exchange, orientation and reactivity, addition of halogen, mechanism, halohydrin formation, mechanism of free radicals addition, mechanism of peroxide initiated addition of hydrogen bromide, orientation of free addition, additions of carbene to alkene, cyclo addition reactions.	<b>6</b>	<b>6.5%</b>
<b>8</b>	Carbon-carbon double bond as substituents: Free radical halogenations of alkenes, comparison of free radical substitution with free radical addition, free radical substitution in alkenes, orientation and reactivity, allylic rearrangements	<b>4</b>	<b>4.5%</b>
<b>9</b>	Theory of resonance: Allyl radical as a resonance hybrid, stability, orbital picture, resonance stabilisation of allyl radicals, hyper conjugation, allyl cation as a resonance hybrid, nucleophilic substitution in allylic substrate, SN1 reactivity, allylic rearrangement, resonance stabilisation of allyl cation, hyper conjugation, nucleophilic substitution in allylic substrate, SN2 nucleophilic substitution in vinylic substrate, vinylic cation, stability of conjugated dienes, resonance in alkenes, hyper conjugation, ease of formation of conjugated dienes, orientation of elimination, electrophilic addition to conjugated dienes, 1,4- addition, 1,2-versus 1,4-addition, rate versus equilibrium, orientation and reactivity of free radical addition to conjugated dienes.	<b>6</b>	<b>6.5%</b>
<b>10</b>	Electrophilic aromatic substitution: Effect of substituent groups, determination of orientation, determination of relative reactivity, classification of substituent group, mechanism of nitration, sulphonation, halogenation, friedel craft alkylation, friedel craft acylation, reactivity and orientation, activating	<b>6</b>	<b>6.5%</b>

	and deactivating O,P,M directing groups, electron release via resonance, effect of halogen on electrophilic aromatic substitution in alkyl benzene, side chain halogenation of alkyl benzene, resonance stabilization of benzyl radical.		
<b>11</b>	Nucleophilic addition reaction: Mechanism, ionisation of carboxylic acids, acidity constants, acidity of acids, structure of carboxylate ions, effect of substituent on acidity, nucleophilic acyl substitution reaction, conversion of acid to acid chloride, esters, amide and anhydride. Role of carboxyl group, comparison of alkyl nucleophilic substitution with acyl nucleophilic substitution.	<b>5</b>	<b>5.5%</b>
<b>12</b>	Mechanism of aldol condensation, claisen condensation, cannizzaro reaction, crossed aldol condensation, crossed cannizzaro reaction, benzoin condensation, perkin condensation. Knoevenagel, Reformatsky reaction, Wittig reaction, Michael addition	<b>5</b>	<b>5.5%</b>
<b>13</b>	Hoffman rearrangement: Migration to electron deficient nitrogen, Sandmeyer's reaction, basicity of amines, diazotisation and coupling, acidity of phenols, Williamson synthesis, Fries rearrangement, Kolbe reaction, Reimer tieman's reactions.	<b>5</b>	<b>5.5%</b>
<b>14</b>	Nucleophilic aromatic substitution: Bimolecular displacement mechanisms, orientation, comparison of aliphatic nucleophilic substitution with that of aromatic.	<b>4</b>	<b>4.5%</b>
<b>15</b>	Oxidation reduction reaction.	<b>5</b>	<b>5.5%</b>
<b>16</b>	Study of the following official compounds- preparation, test for purity, assay and medicinal uses of Chlorbutol, Dimercaprol, Glyceryl trinitrate, Urea, Ethylene diamine dihydrate, Vanillin, Paraldehyde, Ethylene chloride, Lactic acid, Tartaric acid, citric acid, salicylic acid, aspirin, methyl salicylate, ethyl benzoate, benzyl benzoate, dimethyl phthalate, sodium lauryl sulphate, saccharin sodium, mephensin.	<b>6</b>	<b>6.5%</b>

### **Course Materials:**

#### **Text books**

- T.R.Morrison and R. Boyd - Organic chemistry,
- Bentley and Driver-Text book of Pharmaceutical chemistry
- I.L.Finer- Organic chemistry, the fundamentals of chemistry

#### **Reference books**

- Organic chemistry – J.M.Cram and D.J.Cram
- Organic chemistry- Brown
- Advanced organic chemistry- Jerry March, Wiley
- Organic chemistry- Cram and Hammered, Pine Hendrickson

**Pharm.D. 1<sup>st</sup> year**

**PHARMACEUTICAL ORGANIC CHEMISTRY**

*Practical (3 Hours / Week; 6 Credits, 90 Hours)*

Sr. No.	Experiments
1	<p><b>Introduction to the various laboratory techniques through demonstration involving synthesis of the following compounds :</b></p> <ol style="list-style-type: none"> <li>Acetanilide / aspirin (Acetylation)</li> <li>Benzanilide / Phenyl benzoate (Benzoylation)</li> <li>P-bromo acetanilide / 2,4,6 – tribromo aniline (Bromination)</li> <li>Dibenzylidene acetone (Condensation)</li> <li>1-Phenylazo-2-naphthol (Diazotisation and coupling)</li> <li>Benzoic acid / salicylic acid (Hydrolysis of ester)</li> <li>M-dinitro benzene (Nitration)</li> <li>9, 10 – Anthraquinone (Oxidation of anthracene) / preparation of benzoic acid from toluene or benzaldehyde</li> <li>M-phenylene diamine (Reduction of M-dinitrobenzene) / Aniline from nitrobenzene</li> <li>Benzophenone oxime</li> <li>Nitration of salicylic acid</li> <li>Preparation of picric acid</li> <li>Preparation of O-chlorobenzoic acid from O-chlorotoluene</li> <li>Preparation of cyclohexanone from cyclohexanol.</li> </ol>
2	<p><b>Identification of organic compounds belonging to the following classes by :</b></p> <p>Systematic qualitative organic analysis including preparation of derivatives Phenols, amides, carbohydrates, amines, carboxylic acids, aldehyde and ketones, Alcohols, esters, hydrocarbons, anilides, nitrocompounds.</p>
3	<p><b>Introduction to the use of stereo models :</b></p>

	Methane, Ethane, Ethylene, Acetylene, Cis alkene, Trans alkene, inversion of configuration.
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### Scheme of Practical Examination

	Internal/ Sessional	External
Synopsis	05	15
Major Experiment	10	25
Minor Experiment	03	15
Viva	02	15
<b>Max. marks</b>	<b>20</b>	<b>70</b>
<b>Duration</b>	<b>3 hours</b>	<b>4 hours</b>

Note: Total sessional marks is 30 (20 for practical sessional plus 10 marks for regularity, promptness, viva-voce and record maintenance)